

REMARKS

Claim Amendments:

Claims 63-109 are pending in the present application. Claim 109 is allowed. Claims 63 and 64 have been amended.

Claim 63 has been amended to correct a typographical error. Specifically, the recitation "n is 0 or 1" has been deleted at the last line of Claim 63 because the term "n" does not appear in the formula for this claim.

Claims 63 and 64 have been amended to substitute the recitation "ring A, together with the atoms of the ϵ -caprolactam or the substituted 1,5-diazapine to which it is attached" with the recitation --ring A, together with the atoms of the ϵ -caprolactam to which it is attached— because 1,5-diazapine ring does not appear in the formula for these claims.

Claims 63 and 64 have been further amended to specify the nature of the heterocyclic ring C by spelling out the definition for a heteroaryl or heterocyclic ring formed by attaching ring C to ϵ -caprolactam. Support for this amendment can be found, for example, at page 38, line 1 through page 39, line 16 of the specification.

Accordingly, no new matter has been entered. Entry of this amendment is earnestly solicited.

Attached are a marked up version of the previous version of Claim 63 and 64 and a clean version of the entire set of pending Claims 63-109.

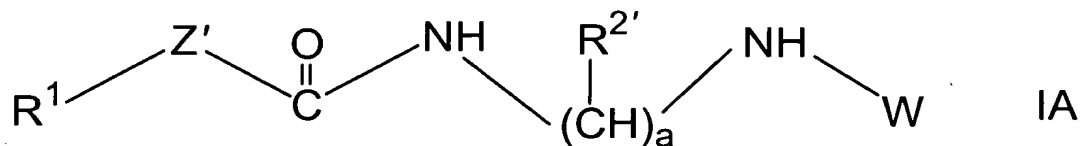
Allowed Claims:

Applicants acknowledge with appreciation the allowance of Claim 109.

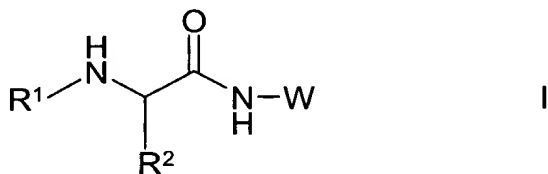
Comments under MPEP 822

The Examiner requests Applicants to comment on the relationship between the present application and WO 99/67220 (equivalent of the allowed U.S. application 09/337,484) and WO 99/67219 and WO 99/66934 (equivalent of U.S. application 09/338,180). The Examiner requests Applicants to maintain a clear line of demarcation between these applications under MPEP § 822.

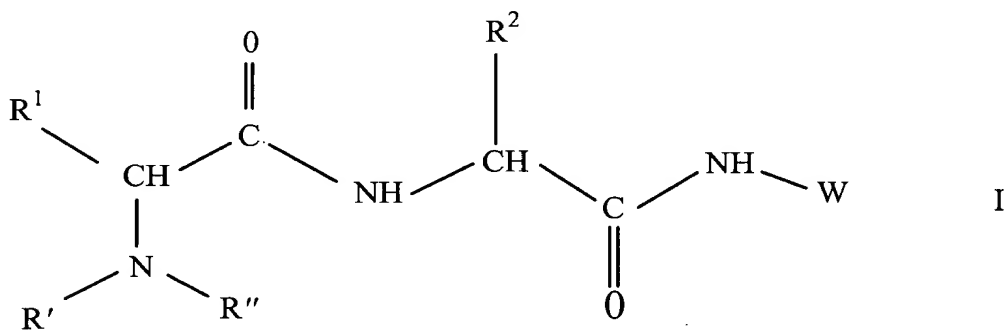
Applicants maintain that there is a clear line of demarcation between the applications. Specifically, the allowed claims of U.S. application 09/337,484 are directed to the compounds of a generic formula IA:

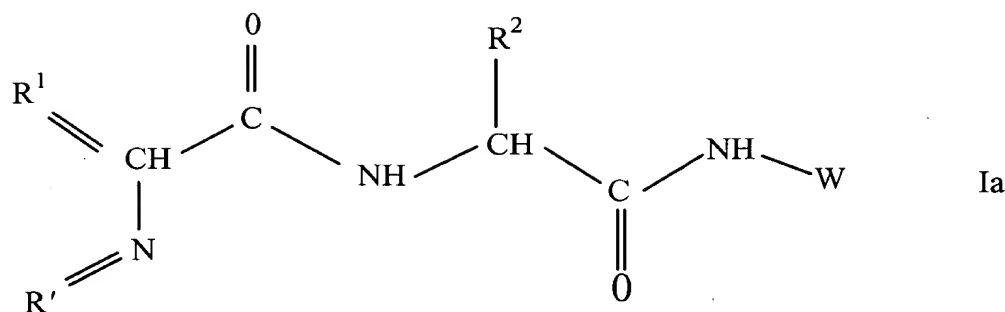


which are clearly significantly different from the claimed compounds of the present invention represented by a generic formula I:



As to U.S. application 09/338,180, its claims are directed to the compounds of the following generic formulas:





The compounds of the present invention may be used as intermediates for the compounds claimed by U.S. application 09/338,180 via Scheme 1 shown on page 47 of U.S. application 09/338,180, but differ significantly structurally from the compounds claimed by U.S. application 09/338,180.

The compounds claimed by the present application are clearly patentably distinct from the compounds claimed by U.S. application 09/337,484 and U.S. application 09/338,180.

Accordingly, the requirements of MPEP § 822 are satisfied.

Rejection under 35 U.S.C. §112, Second Paragraph

Claims 63-108 stand rejected under 35 U.S.C. §112, second paragraph, as purportedly indefinite.

(i) Claims 63 and 64 stand rejected as purportedly indefinite in the definition of ring *A* stating "ring *A*, together with the atoms of the ϵ -caprolactam or the substituted 1,5-diazepine to which it is attached" because there is no 1,5-diazepine ring remaining in the claims. Claims 63 and 64 have been amended to substitute the recitation "ring *A*, together with the atoms of the ϵ -caprolactam or the substituted 1,5-diazepine to which it is attached" with the recitation --ring *A*, together with the atoms of the ϵ -caprolactam to which it is attached--. Thus, Applicants respectfully submit that this rejection has been obviated.

(ii) Claims 63 and 64 stand rejected as purportedly indefinite for lack of the definition of the heterocyclic ring *C*. Claims 63 and 64 have been amended to specify the nature of the heterocyclic ring *C* by spelling out the definition for a heteroaryl or heterocyclic ring formed by attaching ring *C* to ϵ -caprolactam. Thus, Applicants respectfully submit that this rejection has been obviated.

Accordingly, Applicants respectfully request that the rejection of Claims 63-108 under 35 U.S.C. §112, second paragraph be withdrawn.

CONCLUSION

For the reasons set forth above, Applicants submit that the claims of this application are patentable. Reconsideration and withdrawal of the Examiner's rejections are hereby requested. Early allowance of the claims of this application is earnestly solicited.

Respectfully submitted,
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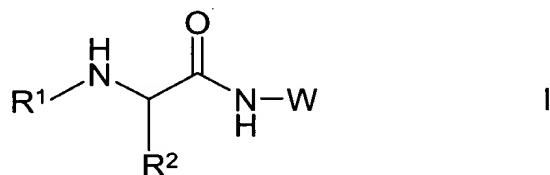
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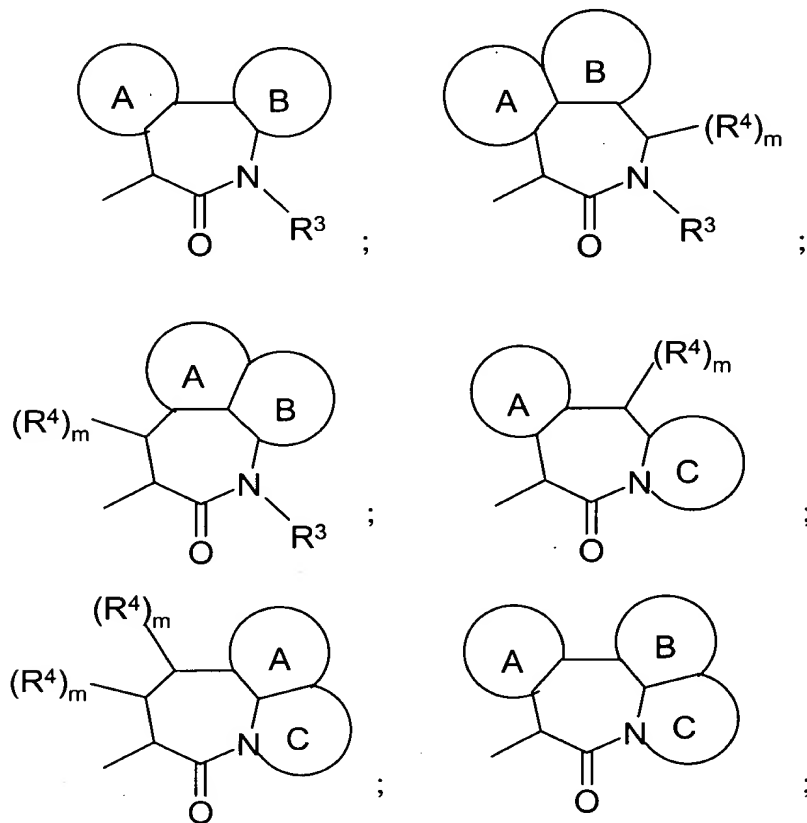
Attachment A
Marked-Up Copy of Amended Claims 63 and 64

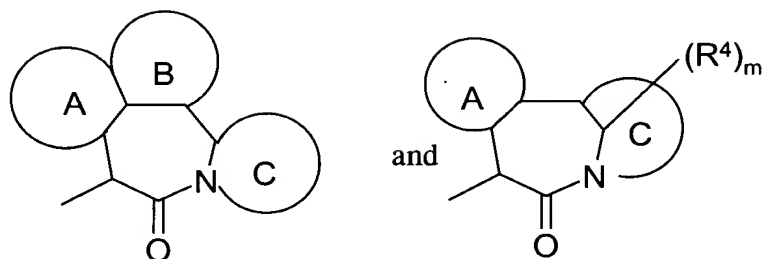
63. (Amended) A compound of formula I:



wherein

W is a substituted ϵ -caprolactam selected from the group consisting of:





wherein

ring A, together with the atoms of the ϵ -caprolactam [or the substituted 1,5-diazapine] to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- A) aryl having from 6 to 14 ring carbon atoms substituted with from 1 to 5 substituents selected from the group consisting of:
- 1) acyloxy selected from alkyl-C(O)O-, substituted alkyl -C(O)O-, cycloalkyl-C(O)O-, substituted cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 2) hydroxy;
 - 3) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is

defined in F herein; and wherein heterocyclic is defined in G herein;

- 4) alkyl as defined in R herein;
- 5) alkoxy having the formula alkyl-O- wherein alkyl is defined in R herein;
- 6) alkenyl as defined in T herein;
- 7) alkynyl as defined in V herein;
- 8) substituted alkyl as defined in S herein;
- 9) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in S herein;
- 10) substituted alkenyl as defined in U herein;
- 11) substituted alkynyl as defined in W herein;
- 12) amino having the formula $\text{-NH}_2\text{-}$;
- 13) substituted amino having the formula -N(R)_2 where each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, heterocyclic and where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; substituted alkyl is defined in S herein; wherein alkenyl is defined in T herein; wherein substituted alkenyl is defined in U herein; wherein alkynyl is defined in V herein; wherein substituted alkynyl is defined in W herein; wherein aryl is defined in A herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 14) aminoacyl having the formula -NRC(O)R wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein

- substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 15) acylamino having the formula $-C(O)NRR$ where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic or where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 16) alkaryl of the formula $-alkylene-aryl$ having 1 to 8 carbon atoms in the alkylene moiety wherein aryl is defined in A herein and alkylene is a divalent alkyl where alkyl is defined in R herein;
- 17) aryl as defined in A herein;
- 18) aryloxy having the formula $-aryl-O$ wherein aryl is defined in A herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl having the formula $-C(O)Oalkyl$ and $-C(O)O-$ substituted alkyl wherein alkyl as defined in R herein and substituted alkyl is defined in S herein;
- 22) cyano;
- 23) halo selected from fluoro, chloro, bromo and iodo;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) aminoacyloxy having the formula $-NRC(O)OR$ wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein

- substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 28) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 29) thioalkoxy having the formula -S-alkyl, wherein alkyl as defined in R herein;
- 30) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in S herein;
- 31) thioaryloxy having the formula aryl-S- wherein aryl is defined in A herein;
- 32) thioheteroaryloxy having the formula heteroaryl-S- wherein heteroaryl is defined F herein;
- 33) -SO-alkyl wherein alkyl is defined in R herein;
- 34) -SO-substituted alkyl wherein substituted alkyl is defined in S herein;
- 35) -SO-aryl wherein aryl is defined in A herein;
- 36) -SO-heteroaryl wherein heteroaryl is defined in F herein;
- 37) -SO₂-alkyl wherein alkyl is defined in R herein;
- 38) -SO₂-substituted alkyl wherein substituted alkyl is defined in S herein;
- 39) -SO₂-aryl wherein aryl is defined in A herein;
- 40) -SO₂-heteroaryl wherein heteroaryl is defined in F herein; and
- 41) trihalomethyl wherein halo is defined in A23 herein;
- B) cycloalkyl of from 3 to 12 carbon atoms;

C) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) alkoxy as defined in A5 herein;
- 2) substituted alkoxy as defined in A9 herein;
- 3) cycloalkyl as defined in B herein;
- 4) substituted cycloalkyl as defined in C herein;
- 5) cycloalkenyl as defined in D herein;
- 6) substituted cycloalkenyl as defined in E herein;
- 7) acyl as defined in A3 herein;
- 8) acylamino as defined in A15 herein;
- 9) acyloxy as defined in A1 herein;
- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto having the formula $=O$;
- 21) thioketo having the formula $=S$;
- 22) thiol having the formula $-SH$;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;

- 28) heteroaryloxy having the formula -O-heteroaryl wherein heteroaryl is defined in F herein;
 - 29) heterocyclic as defined in G herein;
 - 30) heterocyclooxy having the formula -O-heterocyclic wherein heterocyclic is defined in G herein;
 - 31) hydroxyamino;
 - 32) alkoxyamino wherein alkoxy is defined in A5 herein;
 - 33) nitro;
 - 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;
- D) cycloalkenyl of from 4 to 8 carbon atoms;
- E) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;

- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocycloxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;

- 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;
- F) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, substituted with from 1 to 5 substituents selected from:
- 1) acyloxy as defined in A1 herein;
 - 2) hydroxy;
 - 3) acyl as defined in A3 herein;
 - 4) alkyl as defined in R herein;
 - 5) alkoxy as defined in A5 herein;
 - 6) alkenyl as defined in T herein;
 - 7) alkynyl as defined in V herein;
 - 8) substituted alkyl as defined in S herein;
 - 9) substituted alkoxy as defined in A9 herein;
 - 10) substituted alkenyl as defined in U herein;
 - 11) substituted alkynyl as defined in W herein;
 - 12) amino as defined in A12 herein;
 - 13) substituted amino as defined in A13 herein;
 - 14) aminoacyl as defined in A14 herein;
 - 15) acylamino as defined in A15 herein;
 - 16) alkaryl as defined in A16 herein;
 - 17) aryl as defined in A herein;
 - 18) aryloxy as defined in A18 herein;
 - 19) azido;
 - 20) carboxyl;
 - 21) carboxylalkyl as defined in A21 herein;
 - 22) cyano;
 - 23) halo as defined in A23 herein;
 - 24) nitro;

- 25) heteroaryl as defined in F herein;
 - 26) heterocyclic as defined in G herein;
 - 27) aminoacyloxy as defined in A27 herein;
 - 28) oxyacylamino as defined in A28 herein;
 - 29) thioalkoxy as defined in A29 herein;
 - 30) substituted thioalkoxy as defined in A30 herein;
 - 31) thioaryloxy as defined in A31 herein;
 - 32) thioheteroaryloxy as defined in A32 herein;
 - 33) -SO-alkyl as defined in A33 herein;
 - 34) -SO-substituted alkyl as defined in A34 herein;
 - 35) -SO-aryl as defined in A35 herein;
 - 36) -SO-heteroaryl as defined in A36 herein;
 - 37) -SO₂-alkyl as defined in A37 herein;
 - 38) -SO₂-substituted alkyl as defined in A38 herein;
 - 39) -SO₂-aryl as defined in A39 herein;
 - 40) -SO₂-heteroaryl as defined in A40 herein; and
 - 41) trihalomethyl as defined in A41 herein;
- G) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, substituted with from 1 to 5 substituents selected from:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;

- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocycloxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;

- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;

ring *B*, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- H) aryl as defined in A herein;
- I) cycloalkyl as defined in B herein;
- J) substituted cycloalkyl as defined in C herein;
- K) cycloalkenyl as defined in D herein;
- L) substituted cycloalkenyl as defined in E herein;
- M) heteroaryl as defined in F herein; and
- N) heterocyclic as defined in G herein;

ring *C*, together with the atoms of the ϵ -caprolactam to which it is attached, forms a heteroaryl as defined in F herein or heterocyclic ring as defined in G herein;

R¹ is selected from the group consisting of:

- O) hydrogen; and
- P) an amino-blocking group being any group, bound to an amino group, which prevents undesired reactions from occurring at the amino group and which may be removed by conventional chemical and/or enzymatic procedures to reestablish the amino group;

R² is selected from the group consisting of:

- Q) hydrogen;
- R) alkyl of from 1 to 20 carbon atoms;
- S) substituted alkyl of from 1 to 20 carbon atoms, having from 1 to 5 substituents selected from:
 - 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;

- 5) cycloalkenyl as defined in D herein;
- 6) substituted cycloalkenyl as defined in E herein;
- 7) acyl as defined in A3 herein;
- 8) acylamino as defined in A15 herein;
- 9) acyloxy as defined in A1 herein;
- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;

- 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;
- T) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- U) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkoxy wherein alkoxy is defined in A5 herein ;
 - 6) substituted cycloalkoxyl wherein substituted alkoxy is defined in A9 herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) cyano;
 - 15) halogen wherein halo is defined in A23 herein;
 - 16) hydroxyl;
 - 17) carboxyl;

- 18) carboxylalkyl as defined in A21 herein;
 - 19) keto as defined in C20 herein;
 - 20) thioketo as defined in C21 herein;
 - 21) thiol as defined in C22 herein;
 - 22) thioalkoxy as defined in A29 herein;
 - 23) substituted thioalkoxy as defined in A30 herein;
 - 24) aryl as defined in A herein;
 - 25) heteroaryl as defined in F herein;
 - 26) heterocyclic as defined in G herein;
 - 27) heterocyclooxy as defined in C30 herein;
 - 28) nitro;
 - 29) -SO-alkyl as defined in A33 herein;
 - 30) -SO-substituted alkyl as defined in A34 herein;
 - 31) -SO-aryl as defined in A35 herein;
 - 32) -SO-heteroaryl as defined in A36 herein;
 - 33) -SO₂-alkyl as defined in A37 herein;
 - 34) -SO₂-substituted alkyl as defined in A38 herein;
 - 35) -SO₂-aryl as defined in A39 herein; and
 - 36) -SO₂-heteroaryl as defined in A40 herein;
- V) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- W) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkoxy as defined in U5 herein;
 - 6) substituted cycloalkoxyl as defined in U6 herein;
 - 7) acyl as defined in A3 herein;

- 8) acylamino as defined in A15 herein;
- 9) acyloxy as defined in A1 herein;
- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) cyano;
- 15) halogen wherein halo is defined in A23 herein;
- 16) hydroxyl;
- 17) carboxyl;
- 18) carboxylalkyl as defined in A21 herein;
- 19) keto as defined in C20 herein;
- 20) thioketo as defined as C21 herein;
- 21) thiol as defined as C22 herein;
- 22) thioalkoxy as defined in A29 herein;
- 23) substituted thioalkoxy as defined in A30 herein;
- 24) aryl as defined in A herein;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) heterocyclooxy as defined in C30 herein;
- 28) nitro;
- 29) -SO-alkyl as defined in A33 herein;
- 30) -SO-substituted alkyl as defined in A34 herein;
- 31) -SO-aryl as defined in A35 herein;
- 32) -SO-heteroaryl as defined in A36 herein;
- 33) -SO₂-alkyl as defined in A37 herein;
- 34) -SO₂-substituted alkyl as defined in A38 herein;
- 35) -SO₂-aryl as defined in A39 herein; and
- 36) -SO₂-heteroaryl as defined in A40 herein;

- X) aryl as defined in A herein;
- Y) cycloalkyl as defined in B herein;
- Z) heteroaryl as defined in F herein; and
- AA) heterocyclic as defined in G herein;

R³ is selected from the group consisting of:

- BB) hydrogen;
- CC) alkyl as defined in R herein;
- DD) substituted alkyl as defined in S herein;
- EE) alkenyl as defined in T herein;
- FF) substituted alkenyl as defined in U herein;
- GG) alkynyl as defined in as defined in V herein;
- HH) substituted alkynyl as defined in W herein;
- II) acyl as defined in A3 herein;
- JJ) aryl as defined in A herein;
- KK) cycloalkyl as defined in B herein;
- LL) substituted cycloalkyl as defined in C herein;
- MM) cycloalkenyl as defined in D herein;
- NN) substituted cycloalkenyl as defined in E herein;
- OO) heteroaryl as defined in F herein; and
- PP) heterocyclic as defined in G herein;

each R⁴ is independently selected from the group consisting of:

- QQ) alkyl as defined in R herein;
- RR) substituted alkyl as defined in S herein;
- SS) alkenyl as defined in T herein;
- TT) substituted alkenyl as defined in U herein;
- UU) alkynyl as defined in V herein;
- VV) substituted alkynyl as defined in W herein;
- WW) aryl as defined in A herein;
- XX) cycloalkyl as defined in B herein;

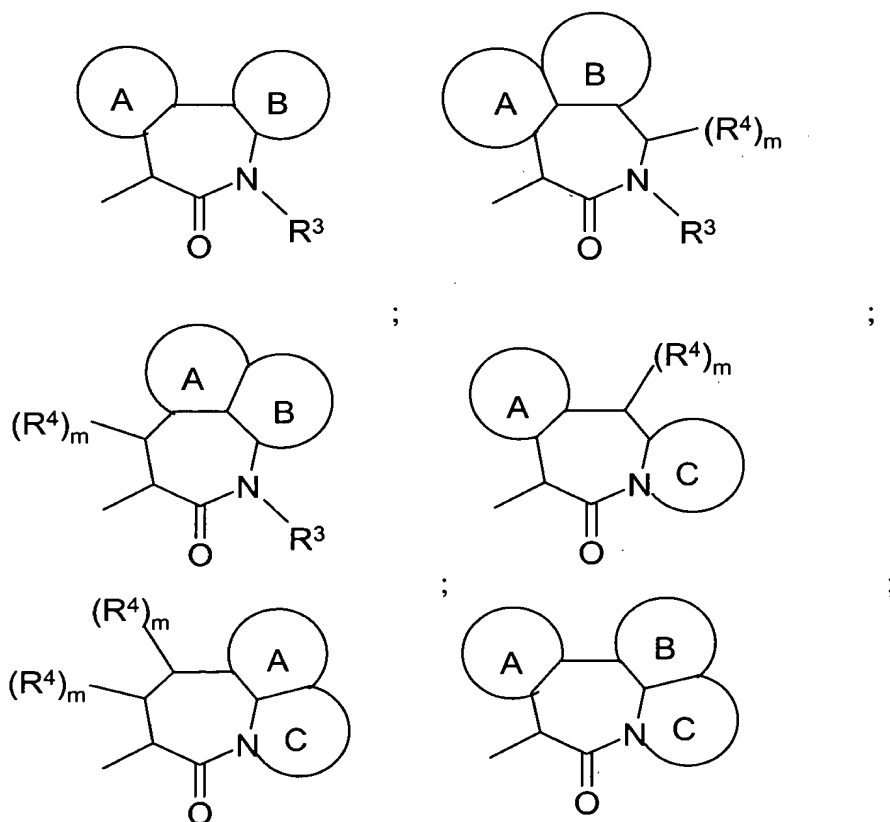
YY) substituted cycloalkyl as defined in C herein;
ZZ) cycloalkenyl as defined in D herein;
AAA) substituted cycloalkenyl as defined in E herein;
BBB) heteroaryl as defined in F herein; and
CCC) heterocyclic as defined in G herein;
m is an integer from 0 to 2; [*n* is 0 or 1;] and salts thereof.

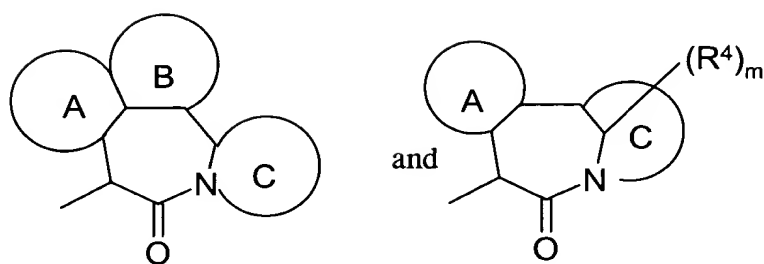
64. (Amended) A compound of formula II:



wherein

W is a substituted ϵ -caprolactam selected from the group consisting of:





wherein

ring *A*, together with the atoms of the ϵ -caprolactam [or the substituted 1,5-diazapine] to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- A) aryl having from 6 to 14 ring carbon atoms substituted with from 1 to 5 substituents selected from the group consisting of:
 - 1) acyloxy selected from alkyl-C(O)O-, substituted alkyl -C(O)O-, cycloalkyl-C(O)O-, substituted cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
 - 2) hydroxy;
 - 3) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein aryl is defined in A herein; wherein heteroaryl is

defined in F herein; and wherein heterocyclic is defined in G herein;

- 4) alkyl as defined in R herein;
- 5) alkoxy having the formula alkyl-O- wherein alkyl is defined in R herein;
- 6) alkenyl as defined in T herein;
- 7) alkynyl as defined in V herein;
- 8) substituted alkyl as defined in S herein;
- 9) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in S herein;
- 10) substituted alkenyl as defined in U herein;
- 11) substituted alkynyl as defined in W herein;
- 12) amino having the formula -NH_2 ;
- 13) substituted amino having the formula -N(R)_2 where each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, aryl, cycloalkyl, substituted cycloalkyl, heteroaryl, heterocyclic and where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; substituted alkyl is defined in S herein; wherein alkenyl is defined in T herein; wherein substituted alkenyl is defined in U herein; wherein alkynyl is defined in V herein; wherein substituted alkynyl is defined in W herein; wherein aryl is defined in A herein; wherein cycloalkyl is defined in B herein; wherein substituted cycloalkyl is defined in C herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 14) aminoacyl having the formula -NRC(O)R wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein

- substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 15) acylamino having the formula $-C(O)NRR$ where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic or where both R groups are joined to form a heterocyclic group; wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 16) alkaryl of the formula $-alkylene-aryl$ having 1 to 8 carbon atoms in the alkylene moiety wherein aryl is defined in A herein and alkylene is a divalent alkyl where alkyl is defined in R herein;
- 17) aryl as defined in A herein;
- 18) aryloxy having the formula $-aryl-O$ wherein aryl is defined in A herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl having the formula $-C(O)Oalkyl$ and $-C(O)O-$ substituted alkyl wherein alkyl as defined in R herein and substituted alkyl is defined in S herein;
- 22) cyano;
- 23) halo selected from fluoro, chloro, bromo and iodo;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) aminoacyloxy having the formula $-NRC(O)OR$ wherein each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl or heterocyclic; wherein alkyl is defined in R herein; wherein

- substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 28) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in R herein; wherein substituted alkyl is defined in S herein; wherein aryl is defined in A herein; wherein heteroaryl is defined in F herein; and wherein heterocyclic is defined in G herein;
- 29) thioalkoxy having the formula -S-alkyl, wherein alkyl as defined in R herein;
- 30) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in S herein;
- 31) thioaryloxy having the formula aryl-S- wherein aryl is defined in A herein;
- 32) thioheteroaryloxy having the formula heteroaryl-S- wherein heteroaryl is defined F herein;
- 33) -SO-alkyl wherein alkyl is defined in R herein;
- 34) -SO-substituted alkyl wherein substituted alkyl is defined in S herein;
- 35) -SO-aryl wherein aryl is defined in A herein;
- 36) -SO-heteroaryl wherein heteroaryl is defined in F herein;
- 37) -SO₂-alkyl wherein alkyl is defined in R herein;
- 38) -SO₂-substituted alkyl wherein substituted alkyl is defined in S herein;
- 39) -SO₂-aryl wherein aryl is defined in A herein;
- 40) -SO₂-heteroaryl wherein heteroaryl is defined in F herein; and
- 41) trihalomethyl wherein halo is defined in A23 herein;
- B) cycloalkyl of from 3 to 12 carbon atoms;

C) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) alkoxy as defined in A5 herein;
- 2) substituted alkoxy as defined in A9 herein;
- 3) cycloalkyl as defined in B herein;
- 4) substituted cycloalkyl as defined in C herein;
- 5) cycloalkenyl as defined in D herein;
- 6) substituted cycloalkenyl as defined in E herein;
- 7) acyl as defined in A3 herein;
- 8) acylamino as defined in A15 herein;
- 9) acyloxy as defined in A1 herein;
- 10) amino as defined in A12 herein;
- 11) substituted amino as defined in A13 herein;
- 12) aminoacyl as defined in A14 herein;
- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto having the formula =O;
- 21) thioketo having the formula =S;
- 22) thiol having the formula -SH;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;

- 28) heteroaryloxy having the formula -O-heteroaryl wherein heteroaryl is defined in F herein;
 - 29) heterocyclic as defined in G herein;
 - 30) heterocyclooxy having the formula -O-heterocyclic wherein heterocyclic is defined in G herein;
 - 31) hydroxyamino;
 - 32) alkoxyamino wherein alkoxy is defined in A5 herein;
 - 33) nitro;
 - 34) -SO-alkyl as defined in A33 herein;
 - 35) -SO-substituted alkyl as defined in A34 herein;
 - 36) -SO-aryl as defined in A35 herein;
 - 37) -SO-heteroaryl as defined in A36 herein;
 - 38) -SO₂-alkyl as defined in A37 herein;
 - 39) -SO₂-substituted alkyl as defined in A38 herein;
 - 40) -SO₂-aryl as defined in A39 herein; and
 - 41) -SO₂-heteroaryl as defined in A40 herein;
- D) cycloalkenyl of from 4 to 8 carbon atoms;
- E) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;

- 13) aminoacyloxy as defined in A27 herein;
- 14) oxyacylamino as defined in A28 herein;
- 15) cyano;
- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;

F) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, substituted with from 1 to 5 substituents selected from:

- 1) acyloxy as defined in A1 herein;
- 2) hydroxy;
- 3) acyl as defined in A3 herein;
- 4) alkyl as defined in R herein;
- 5) alkoxy as defined in A5 herein;
- 6) alkenyl as defined in T herein;
- 7) alkynyl as defined in V herein;
- 8) substituted alkyl as defined in S herein;
- 9) substituted alkoxy as defined in A9 herein;
- 10) substituted alkenyl as defined in U herein;
- 11) substituted alkynyl as defined in W herein;
- 12) amino as defined in A12 herein;
- 13) substituted amino as defined in A13 herein;
- 14) aminoacyl as defined in A14 herein;
- 15) acylamino as defined in A15 herein;
- 16) alkaryl as defined in A16 herein;
- 17) aryl as defined in A herein;
- 18) aryloxy as defined in A18 herein;
- 19) azido;
- 20) carboxyl;
- 21) carboxylalkyl as defined in A21 herein;
- 22) cyano;
- 23) halo as defined in A23 herein;
- 24) nitro;
- 25) heteroaryl as defined in F herein;
- 26) heterocyclic as defined in G herein;
- 27) aminoacyloxy as defined in A27 herein;
- 28) oxyacylamino as defined in A28 herein;

- 29) thioalkoxy as defined in A29 herein;
 - 30) substituted thioalkoxy as defined in A30 herein;
 - 31) thioaryloxy as defined in A31 herein;
 - 32) thioheteroaryloxy as defined in A32 herein;
 - 33) -SO-alkyl as defined in A33 herein;
 - 34) -SO-substituted alkyl as defined in A34 herein;
 - 35) -SO-aryl as defined in A35 herein;
 - 36) -SO-heteroaryl as defined in A36 herein;
 - 37) -SO₂-alkyl as defined in A37 herein;
 - 38) -SO₂-substituted alkyl as defined in A38 herein;
 - 39) -SO₂-aryl as defined in A39 herein;
 - 40) -SO₂-heteroaryl as defined in A40 herein; and
 - 41) trihalomethyl as defined in A41 herein;
- G) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, substituted with from 1 to 5 substituents selected from:
- 1) alkoxy as defined in A5 herein;
 - 2) substituted alkoxy as defined in A9 herein;
 - 3) cycloalkyl as defined in B herein;
 - 4) substituted cycloalkyl as defined in C herein;
 - 5) cycloalkenyl as defined in D herein;
 - 6) substituted cycloalkenyl as defined in E herein;
 - 7) acyl as defined in A3 herein;
 - 8) acylamino as defined in A15 herein;
 - 9) acyloxy as defined in A1 herein;
 - 10) amino as defined in A12 herein;
 - 11) substituted amino as defined in A13 herein;
 - 12) aminoacyl as defined in A14 herein;
 - 13) aminoacyloxy as defined in A27 herein;
 - 14) oxyacylamino as defined in A28 herein;
 - 15) cyano;

- 16) halogen wherein halo is defined in A23 herein;
- 17) hydroxyl;
- 18) carboxyl;
- 19) carboxylalkyl as defined in A21 herein;
- 20) keto as defined in C20 herein;
- 21) thioketo as defined in C21 herein;
- 22) thiol as defined in C22 herein;
- 23) thioalkoxy as defined in A29 herein;
- 24) substituted thioalkoxy as defined in A30 herein;
- 25) aryl as defined in A herein;
- 26) aryloxy as defined in A18 herein;
- 27) heteroaryl as defined in F herein;
- 28) heteroaryloxy as defined in C28 herein;
- 29) heterocyclic as defined in G herein;
- 30) heterocyclooxy as defined in C30 herein;
- 31) hydroxyamino;
- 32) alkoxyamino as defined in C32 herein;
- 33) nitro;
- 34) -SO-alkyl as defined in A33 herein;
- 35) -SO-substituted alkyl as defined in A34 herein;
- 36) -SO-aryl as defined in A35 herein;
- 37) -SO-heteroaryl as defined in A36 herein;
- 38) -SO₂-alkyl as defined in A37 herein;
- 39) -SO₂-substituted alkyl as defined in A38 herein;
- 40) -SO₂-aryl as defined in A39 herein; and
- 41) -SO₂-heteroaryl as defined in A40 herein;

ring *B*, together with the atoms of the ϵ -caprolactam to which it is attached, forms a carbocyclic or heterocyclic ring selected from the group consisting of:

- H) aryl as defined in A herein;
- I) cycloalkyl as defined in B herein;
- J) substituted cycloalkyl as defined in C herein;

- K) cycloalkenyl as defined in D herein;
- L) substituted cycloalkenyl as defined in E herein;
- M) heteroaryl as defined in F herein; and
- N) heterocyclic as defined in G herein;

ring C, together with the atoms of the ϵ -caprolactam to which it is attached, forms a heteroaryl as defined in F herein or heterocyclic ring as defined in G herein;

R¹ is selected from the group consisting of:

- O) hydrogen; and
- P) an amino-blocking group being any group, bound to an amino group, which prevents undesired reactions from occurring at the amino group and which may be removed by conventional chemical and/or enzymatic procedures to reestablish the amino group;

R³ is selected from the group consisting of:

- Q) hydrogen;
- R) alkyl as defined in R herein;
- S) substituted alkyl as defined in S herein;
- T) alkenyl as defined in T herein;
- U) substituted alkenyl as defined in U herein;
- V) alkynyl as defined in as defined in V herein;
- W) substituted alkynyl as defined in W herein;
- X) acyl as defined in A3 herein;
- Y) aryl as defined in A herein;
- Z) cycloalkyl as defined in B herein;
- AA) substituted cycloalkyl as defined in C herein;
- BB) cycloalkenyl as defined in D herein;
- CC) substituted cycloalkenyl as defined in E herein;
- DD) heteroaryl as defined in F herein; and
- EE) heterocyclic as defined in G herein;

each R⁴ is independently selected from the group consisting of:

- FF) alkyl as defined in R herein;
- GG) substituted alkyl as defined in S herein;

- HH) alkenyl as defined in T herein;
 - II) substituted alkenyl as defined in U herein;
 - JJ) alkynyl as defined in V herein;
 - KK) substituted alkynyl as defined in W herein;
 - LL) aryl as defined in A herein;
 - MM) cycloalkyl as defined in B herein;
 - NN) substituted cycloalkyl as defined in C herein;
 - OO) cycloalkenyl as defined in D herein;
 - PP) substituted cycloalkenyl as defined in E herein;
 - QQ) heteroaryl as defined in F herein; and
 - RR) heterocyclic as defined in G herein;
- m* is an integer from 0 to 2; and salts thereof.